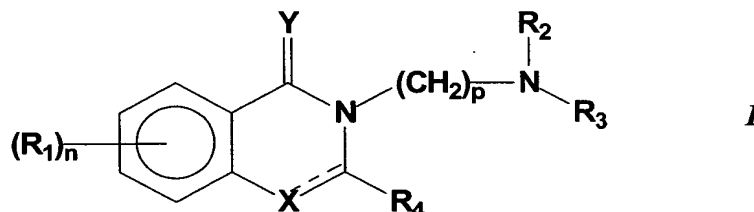


WHAT IS CLAIMED IS:

1. A compound of Formula *I*:



or a pharmaceutically-acceptable salt or solvate thereof, wherein:

*n* is an integer from zero to 3;

*p* is an integer from 2 to 4;

X is -N=, -NH- or -S-;

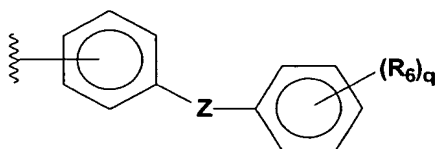
Y is oxygen or sulfur;

each occurrence of *R*<sub>1</sub> is independently selected from the group consisting of halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl, amino, nitro and cyano;

*R*<sub>2</sub> and *R*<sub>3</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl and C<sub>1-6</sub> alkyloxy(C<sub>1-6</sub>)alkyl; or *R*<sub>2</sub> and *R*<sub>3</sub> together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-, wherein each occurrence of *R*<sub>5</sub> is independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl and C<sub>1-6</sub> hydroxyalkyl; and

*R*<sub>4</sub> is selected from the group consisting of:

- (i)



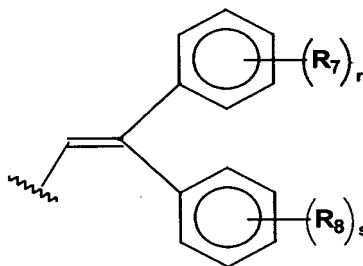
wherein:

Z is -O-, -S-, -NH-, -CH<sub>2</sub>-, -NHCH<sub>2</sub>-, -CH<sub>2</sub>NH-, -OCH<sub>2</sub>-, -CH<sub>2</sub>O-,  
-SCH<sub>2</sub>- or -CH<sub>2</sub>S-;

each occurrence of R<sub>6</sub> is independently selected from the group consisting of halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl and C<sub>1-6</sub> alkyloxyalkyl; and

*q* is an integer from zero to 4;

(ii)



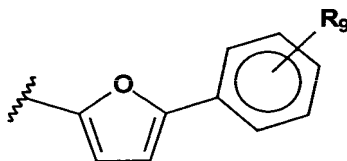
wherein:

each occurrence of R<sub>7</sub> and each occurrence of R<sub>8</sub> are independently selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> hydroxyalkyl and C<sub>1-6</sub> alkoxyalkyl;

*r* is an integer from zero to 4; and

*s* is an integer from zero to 4;

(iii)

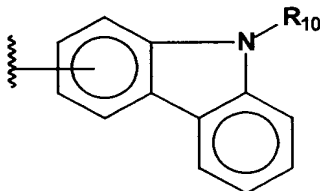


wherein:

R<sub>9</sub> is hydrogen, halogen or alkyl,

*provided that* when R<sub>9</sub> is hydrogen, neither R<sub>2</sub> nor R<sub>3</sub> is hydrogen or C<sub>1-6</sub> alkyl;

(iv)



wherein:

R<sub>10</sub> is hydrogen or alkyl;

and

(v) naphthyl.

2. The compound according to claim 1, wherein *n* is zero.
3. The compound according to claim 1, wherein *p* is 2.
4. The compound according to claim 1, wherein Y is oxygen.
5. The compound according to claim 1, wherein R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a piperidyl ring.
6. The compound according to claim 1, wherein R<sub>4</sub> is moiety (i).
7. The compound according to claim 6, wherein the R<sub>4</sub> moiety is attached to the bicyclic benzoheterocyclic core *meta* or *para* relative to Z.
8. The compound according to claim 6, wherein Z is -O-, -OCH<sub>2</sub>- or -CH<sub>2</sub>O-.
9. The compound according to claim 6, wherein *q* is zero, 1 or 2.
10. The compound according to claim 6, wherein R<sub>6</sub> is halogen, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> haloalkyl.

11. The compound according to claim 6, wherein R<sub>4</sub> is 4-(4-fluorophenoxy)phenyl, 3-(3,4-dichlorophenoxy)phenyl, 3-(3-trifluoromethylphenoxy)phenyl, 3-benzyloxyphenyl or 3-(4-*tert*-butylphenoxy)phenyl.
12. The compound according to claim 1, wherein R<sub>4</sub> is moiety (ii).
13. The compound according to claim 12, wherein *r* is zero.
14. The compound according to claim 12, wherein *s* is zero.
15. The compound according to claim 12, wherein R<sub>7</sub> and R<sub>8</sub> are independently selected from the group consisting of halogen, C<sub>1-4</sub> alkyl and C<sub>1-4</sub> haloalkyl.
16. The compound according to claim 12, wherein R<sub>4</sub> is 2,2-diphenylethenyl.
17. The compound according to claim 1, wherein:  
X is -NH-;  
Y is oxygen; and  
R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl and C<sub>1-6</sub> alkyloxy(C<sub>1-6</sub>)alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-.
18. The compound according to claim 1, wherein:  
X is -NH-;

$n$  is zero or 1;

Y is oxygen;

$p$  is 2 or 3;

$R_2$  and  $R_3$  are independently hydrogen or  $C_{1-6}$  alkyl, or  $R_2$  and  $R_3$  together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

$R_4$  is either of moieties (i) or (ii).

19. The compound according to claim 1, wherein:

X is -NH-;

$n$  is zero;

Y is oxygen;

$p$  is 2;

$R_2$  and  $R_3$  together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 additional heteroatom selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

$R_4$  is moiety (i) wherein Z is -O-, or  $R_4$  is moiety (ii).

20. The compound according to claim 1, wherein:

X is -N=;

Y is oxygen; and

$R_2$  and  $R_3$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl and  $C_{1-6}$  alkyloxy( $C_{1-6}$ )alkyl, or  $R_2$  and  $R_3$  together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-.

21. The compound according to claim 1, wherein:

X is -N=;

$n$  is zero or 1;

Y is oxygen;

$p$  is 2 or 3;

$R_2$  and  $R_3$  are independently hydrogen or  $C_{1-6}$  alkyl, or  $R_2$  and  $R_3$  together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

$R_4$  is either of moieties (i) or (ii).

22. The compound according to claim 1, wherein:

X is -N=;

$n$  is zero;

Y is oxygen;

$p$  is 2;

$R_2$  and  $R_3$  together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 additional heteroatom selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

$R_4$  is moiety (i) wherein Z is -O-, or  $R_4$  is moiety (ii).

23. The compound according to claim 1, wherein:

X is -S-;

Y is oxygen; and

$R_2$  and  $R_3$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl and  $C_{1-6}$  alkyloxy( $C_{1-6}$ )alkyl, or  $R_2$  and  $R_3$  together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-.

24. The compound according to claim 1, wherein:

X is -S-;

*n* is zero or 1;

Y is oxygen;

*p* is 2 or 3;

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or C<sub>1-6</sub> alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and R<sub>4</sub> is either of moieties (i) or (ii).

25. The compound according to claim 1, wherein:

X is -S-;

*n* is zero;

Y is oxygen;

*p* is 2;

R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 additional heteroatom selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and R<sub>4</sub> is moiety (i) wherein Z is -O-, or R<sub>4</sub> is moiety (ii).

26. A compound selected from the group consisting of

2-(2,2-diphenylethenyl)-3-(2-piperidin-1-ylethyl)-2,3-dihydro-1*H*-quinazolin-4-one;

2-[4-(4-fluorophenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-2,3-dihydro-1*H*-quinazolin-4-one;

2-[3-(3,4-dichlorophenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-2,3-dihydro-1*H*-quinazolin-4-one;

2-[3-(3-trifluoromethylphenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-2,3-dihydro-1*H*-quinazolin-4-one;

2-(2,2-diphenylethenyl)-3-(2-piperidin-1-ylethyl)-benzopyrimidin-4-one;

2-[4-(4-fluorophenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-benzo-  
pyrimidin-4-one;

2-[3-(3-trifluoromethylphenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-  
benzopyrimidin-4-one;

2-(3-benzoyloxy)phenyl-3-(2-piperidin-1-ylethyl)-2,3-dihydrobenzo-  
1,3-thiazin-4-one;

2-[3-(3-trifluoromethylphenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-  
2,3-dihydrobenzo-1,3-thiazin-4-one;

2-[3-(4-*tert*-butylphenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-  
2,3-dihydrobenzo-1,3-thiazin-4-one;

2-[4-(4-fluorophenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-2,3-dihydro-  
benzo-1,3-thiazin-4-one;

2-(2,2-diphenylethenyl)-3-(2-piperidin-1-ylethyl)-2,3-dihydrobenzo-  
1,3-thiazin-4-one; and

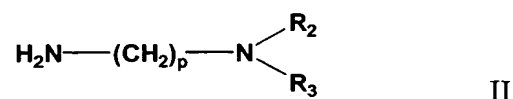
2-[3-(3,4-dichlorophenoxy)phenyl]-3-(2-piperidin-1-ylethyl)-  
2,3-dihydrobenzo-1,3-thiazin-4-one;

or a pharmaceutically-acceptable salt or solvate thereof.

27. A pharmaceutical composition comprising the compound according to  
claim 1, or pharmaceutically-acceptable salt thereof, and a pharmaceutically-  
acceptable carrier or diluent.

28. A method of making the compound according to claim 1 wherein X is  
-NH-, said method comprising:

(a) reacting a 2-nitrobenzoylchloride or a 2-nitrothiobenzoyl-  
chloride with a compound of Formula II:



wherein  $p$ ,  $\text{R}_2$  and  $\text{R}_3$  are as defined in claim 1;

(b) reducing the product from (a) in the presence of hydrogen;



- (c) reacting the product from (b) with an aldehyde of Formula III:

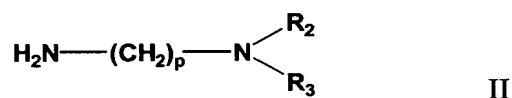


wherein  $\text{R}_4$  is as defined in claim 1; and

- (d) recovering the product obtained from (c).

29. A method of making the compound according to claim 1 wherein X is -N=, said method comprising:

- (a) reacting a 2-nitrobenzoylchloride or a 2-nitrothiobenzoylchloride with a compound of Formula II:



wherein  $p$ ,  $\text{R}_2$  and  $\text{R}_3$  are as defined in claim 1;

- (b) reducing the product from (a) in the presence of hydrogen;  
(c) reacting the product from (b) with an aldehyde of Formula III:

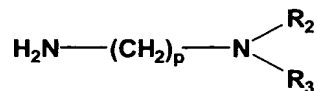


wherein  $\text{R}_4$  is as defined in claim 1;

- (d) reacting the product from (c) with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone and trichloromethane; and  
(e) recovering the product obtained from (d).

30. A method of making the compound according to claim 1 wherein X is -S-, said method comprising:

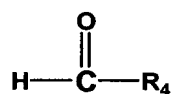
- (a) reacting a 2-mercaptobenzoic acid or a 2-mercaptothiobenzoic acid with:  
(i) a compound of Formula II:



II

wherein  $p$ ,  $\text{R}_2$  and  $\text{R}_3$  are as defined in claim 1; and

(ii) an aldehyde of Formula III;

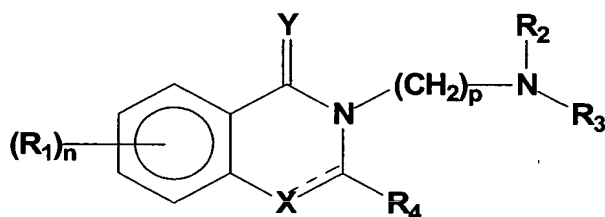


III

wherein  $\text{R}_4$  is as defined in claim 1; and

(b) recovering the product obtained from (a).

31. A method of treating a mammal suffering from a disorder responsive to blockage of sodium channels, said method comprising administering to said mammal, in an amount that is effective for treating or ameliorating said disorder, a compound of Formula I:



I

or a pharmaceutically-acceptable salt or solvate thereof, wherein:

$n$  is an integer from zero to 3;

$p$  is an integer from 2 to 4;

$X$  is  $-\text{N}=\text{}$ ,  $-\text{NH}-$  or  $-\text{S}-$ ;

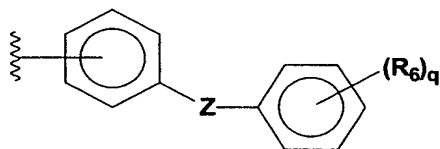
$Y$  is oxygen or sulfur;

each occurrence of  $R_1$  is independently selected from the group consisting of halogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl, amino, nitro and cyano;

$R_2$  and  $R_3$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{3-8}$  cycloalkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl and  $C_{1-6}$  alkyloxy( $C_{1-6}$ )alkyl; or  $R_2$  and  $R_3$  together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-, wherein each occurrence of  $R_5$  is independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl and  $C_{1-6}$  hydroxyalkyl; and

$R_4$  is selected from the group consisting of:

(i)



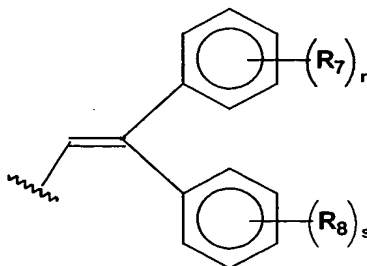
wherein:

Z is -O-, -S-, -NH-, -CH<sub>2</sub>-, -NHCH<sub>2</sub>-, -CH<sub>2</sub>NH-, -OCH<sub>2</sub>-, -CH<sub>2</sub>O-, -SCH<sub>2</sub>- or -CH<sub>2</sub>S-;

each occurrence of  $R_6$  is independently selected from the group consisting of halogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl and  $C_{1-6}$  alkyloxyalkyl; and

$q$  is an integer from zero to 4;

(ii)



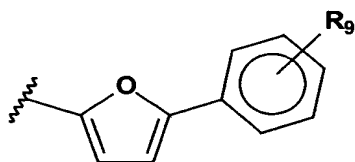
wherein:

each occurrence of  $R_7$  and each occurrence of  $R_8$  are independently selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  hydroxyalkyl and  $C_{1-6}$  alkoxyalkyl;

$r$  is an integer from zero to 4; and

$s$  is an integer from zero to 4;

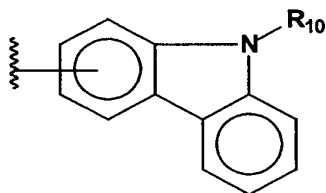
(iii)



wherein:

$R_9$  is hydrogen, halogen or alkyl;

(iv)



wherein:

$R_{10}$  is hydrogen or alkyl;

and

(v) naphthyl.

32. The method according to claim 31, wherein:

X is -NH-;

Y is oxygen; and

$R_2$  and  $R_3$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl and  $C_{1-6}$  alkyloxy( $C_{1-6}$ )alkyl, or  $R_2$  and  $R_3$  together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring

optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-.

33. The method according to claim 31, wherein:

X is -NH-;

*n* is zero or 1;

Y is oxygen;

*p* is 2 or 3;

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or C<sub>1-6</sub> alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and R<sub>4</sub> is either of moieties (i) or (ii).

34. The method according to claim 31, wherein:

X is -NH-;

*n* is zero;

Y is oxygen;

*p* is 2;

R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 additional heteroatom selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and R<sub>4</sub> is moiety (i) wherein Z is -O-, or R<sub>4</sub> is moiety (ii).

35. The method according to claim 31, wherein:

X is -N=;

Y is oxygen; and

R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl and C<sub>1-6</sub> alkyloxy(C<sub>1-6</sub>)alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring

optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-.

36. The method according to claim 31, wherein:

X is -N=;

*n* is zero or 1;

Y is oxygen;

*p* is 2 or 3;

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or C<sub>1-6</sub> alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

R<sub>4</sub> is either of moieties (i) or (ii).

37. The method according to claim 31, wherein:

X is -N=;

*n* is zero;

Y is oxygen;

*p* is 2;

R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 additional heteroatom selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

R<sub>4</sub> is moiety (i) wherein Z is -O-, or R<sub>4</sub> is moiety (ii).

38. The method according to claim 31, wherein:

X is -S-;

Y is oxygen; and

R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl and C<sub>1-6</sub> alkyloxy(C<sub>1-6</sub>)alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen atom to which they are attached form a ring having 3 to 7 carbon atoms, which ring

optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-.

39. The method according to claim 31, wherein:

X is -S-;

*n* is zero or 1;

Y is oxygen;

*p* is 2 or 3;

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or C<sub>1-6</sub> alkyl, or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 or 2 additional heteroatoms independently selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

R<sub>4</sub> is either of moieties (i) or (ii).

40. The method according to claim 31, wherein:

X is -S-;

*n* is zero;

Y is oxygen;

*p* is 2;

R<sub>2</sub> and R<sub>3</sub> together with the nitrogen to which they are attached form a ring having 4 or 5 carbon atoms, which ring optionally contains 1 additional heteroatom selected from the group consisting of -O-, -S- and -NR<sub>5</sub>-; and

R<sub>4</sub> is moiety (i) wherein Z is -O-, or R<sub>4</sub> is moiety (ii).

41. The method according to claim 31, wherein said disorder is selected from the group consisting of: neuronal damage, acute or chronic pain, neuropathic pain, surgical pain, convulsions, a neurodegenerative condition, manic depression and diabetic neuropathy.

42. The method according to claim 31, wherein said disorder is acute or chronic pain.

43. The method according to claim 31, wherein said disorder is neuropathic pain.

44. The method according to claim 31, wherein said disorder is surgical pain.

45. The method according to claim 31, wherein said disorder is neuronal damage caused by focal or global ischemia.

46. The method according to claim 31, wherein said disorder is a neurodegenerative condition.

47. The method according to claim 46, wherein said neurodegenerative condition is amyotrophic lateral sclerosis (ALS).

48. The method according to claim 31, wherein said compound functions as an antitinnitus agent, an anticonvulsant, an antiarrhythmic, a local anesthetic or an antimanic depressant.

49. The method according to claim 31, wherein said mammal is a human, dog or cat.

50. The method according to claim 31, wherein said mammal is a human.